

10/808,496
EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	589	((514/217.06) or (514/263.22)).CCLS.	US-PGPUB; USPAT; USOCR	OR	OFF	2006/12/21 13:34
L2	943	((544/262) or (544/280)).CCLS.	US-PGPUB; USPAT; USOCR	OR	OFF	2006/12/21 13:34
L3	836	(546/118).CCLS.	US-PGPUB; USPAT; USOCR	OR	OFF	2006/12/21 13:34
L4	2186	L1 or L2 or L3	US-PGPUB; USPAT	OR	OFF	2006/12/21 13:35
L5	19	L4 and tetrahydro\$6naphthyridin\$	US-PGPUB; USPAT	OR	OFF	2006/12/21 13:35

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS	4	AUG 28	ADISCTI Reloaded and Enhanced
NEWS	5	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS	6	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS	7	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS	8	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS	9	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS	10	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS	11	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS	12	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS	13	OCT 19	E-mail format enhanced
NEWS	14	OCT 23	Option to turn off MARPAT highlighting enhancements available
NEWS	15	OCT 23	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS	16	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS	17	OCT 30	CHEMLIST enhanced with new search and display field
NEWS	18	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS	19	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS	20	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS	21	NOV 20	CAS Registry Number crossover limit increased to 300,000 in additional databases
NEWS	22	NOV 20	CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS	23	DEC 01	CAS REGISTRY updated with new ambiguity codes
NEWS	24	DEC 11	CAS REGISTRY chemical nomenclature enhanced
NEWS	25	DEC 14	WPIDS/WPINDEX/WPIX manual codes updated
NEWS	26	DEC 14	GBFULL and FRFULL enhanced with IPC 8 features and functionality
NEWS	27	DEC 18	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS	28	DEC 18	CA/CAplus patent kind codes updated
NEWS	29	DEC 18	MARPAT to CA/CAplus accession number crossover limit increased to 50,000
NEWS	30	DEC 18	MEDLINE updated in preparation for 2007 reload
NEWS EXPRESS			NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

10/ 808,496

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 11:55:57 ON 21 DEC 2006

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 11:56:11 ON 21 DEC 2006

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STRUCTURE FILE UPDATES: 20 DEC 2006 HIGHEST RN 916134-56-0

DICTIONARY FILE UPDATES: 20 DEC 2006 HIGHEST RN 916134-56-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

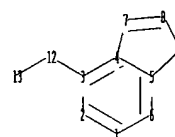
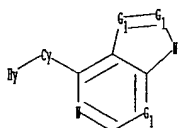
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<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10808496s.str



chain nodes :

12 13

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

3-12 12-13

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9

exact/norm bonds :

1-2 1-6 2-3 3-4 3-12 4-5 4-7 5-6 5-9 7-8 8-9 12-13

isolated ring systems :

containing 1 :

G1:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:Atom
13:Atom

Generic attributes :

12:

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

13:

Number of Carbon Atoms : 7 or more

Number of Hetero Atoms : 2 or more

Type of Ring System : Polycyclic

Element Count :

Node 12: Limited

N,N0-1

O,O0

S,S0

10/ 808,496

Node 13: Limited

N,N2

O,O0

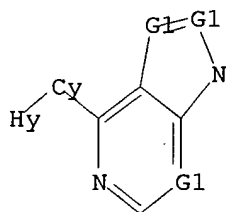
S,S0

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sample

SAMPLE SEARCH INITIATED 11:56:55 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 61159 TO ITERATE

3.3% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 1208444 TO 1237916

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 11:57:03 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1225814 TO ITERATE

81.6% PROCESSED 1000000 ITERATIONS 14 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.12

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 1225814 TO 1225814

PROJECTED ANSWERS: 14 TO 29

L3 14 SEA SSS FUL L1

=> file hcaplus

10/ 808,496

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

167.38

167.59

FILE 'HCAPLUS' ENTERED AT 11:57:24 ON 21 DEC 2006
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FILE COVERS 1907 - 21 Dec 2006 VOL 145 ISS 26
FILE LAST UPDATED: 20 Dec 2006 (20061220/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 11:55:57 ON 21 DEC 2006)

FILE 'REGISTRY' ENTERED AT 11:56:11 ON 21 DEC 2006

L1 STRUCTURE UPLOADED
L2 0 S L1 SAMPLE
L3 14 S L1 FUL

FILE 'HCAPLUS' ENTERED AT 11:57:24 ON 21 DEC 2006

=> s 13

L4 15 L3

=> d l4 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 15 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1329696 HCAPLUS

DOCUMENT NUMBER: 144:45525

TITLE: Methods for treating mast cell disorders

INVENTOR(S): Hayflick, Joel S.; Pefaur, Noah; Puri, Kamal D.; Tino, William

PATENT ASSIGNEE(S): Icos Corporation, USA

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005120511 A1 20051222 WO 2005-US19558 20050604
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2004-576947P

P 20040604

OTHER SOURCE(S): MARPAT 144:45525

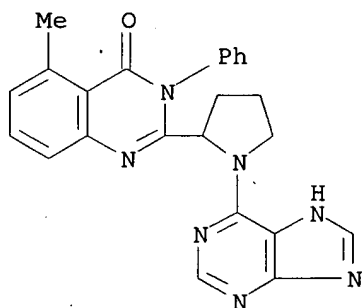
AB The invention provides methods of inhibiting mast cell activity by administering a selective inhibitor of phosphoinositide 3-kinase delta (PI3K8). The invention also provides methods for treating or preventing a condition associated with undesirable mast cell activity in an individual comprising administering an effective amount of a selective PI3K8 inhibitor.

IT 871585-61-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (methods for treating mast cell disorders)

RN 871585-61-4 HCAPLUS

CN 4(3H)-Quinazolinone, 5-methyl-3-phenyl-2-[1-(1H-purin-6-yl)-2-pyrrolidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1314205 HCAPLUS

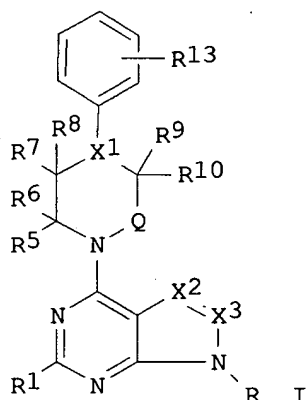
DOCUMENT NUMBER: 144:51610

TITLE: Preparation and structure activity of
 pyrazolo-pyrimidine derivatives as antitumor agents
 and kinase modulators

INVENTOR(S): Anand, Neel K.; Blazey, Charles M.; Bowles, Owen
 Joseph; Bussenius, Joerg; Canne Bannen, Lynne; Chan,
 Diva Sze-Ming; Chen, Baili; Co, Erick Wang; Costanzo,
 Simona; Defina, Steven Charles; Dubenko, Larisa;
 Franzini, Maurizio; Huang, Ping; Jammalamadaka, Vasu;
 Khoury, Richard George; Kim, Moon Hwan; Klein, Rhett
 Ronald; Le, Donna Tra; Mac, Morrison B.; Nüss, John
 M.; Parks, Jason Jevious; Rice, Kenneth D.; Tsang,
 Tsze H.; Tsuhako, Amy Lew; Wang, Yong; Xu, Wei

PATENT ASSIGNEE(S): Exelixis, Inc., USA
 SOURCE: PCT Int. Appl., 211 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005117909	A2	20051215	WO 2005-US13860	20050422
WO 2005117909	A3	20060427		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, FI, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005249380	A1	20051215	AU 2005-249380	20050422
CA 2563699	A1	20051215	CA 2005-2563699	20050422
PRIORITY APPLN. INFO.:			US 2004-564908P	P 20040423
			WO 2005-US13860	W 20050422
OTHER SOURCE(S):			MARPAT 144:51610	
GI				



AB Pyrazolo-pyrimidine derivs. I, wherein X1 is N, CR2. X2 is N, CR3; X3 is N, CR4, but when X2 is N then X3 is CR4; R is H, halogen, tri-halomethyl, substituted nitrogen, substituted sulfur, sulfonyl, sulfonamide, carboxylate, amide, substituted oxygen, acyl, alkyl, aryl, heterocycle, heterocycloalkyl, arylalkyl R1-R13 are independently H, halogen, tri-halomethyl, CN, NO2, substituted nitrogen, substituted sulfur, sulfonyl, sulfonamide, carboxylate, amide, substituted oxygen, acyl, alkyl, aryl, heterocycle, heterocycloalkyl, arylalkyl; Q is (C)nR11R12; n is 0-1 are prepared as kinase modulators. Combination chemotherapy and structure activity of title compds. are reported. The compds. modulate

protein kinase enzymic activity to modulate cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinases, particularly p70S6 and/or AKT kinases. Methods of using and preparing the compds., and pharmaceutical compns. thereof, to treat kinase-dependent diseases and conditions are also an aspect of the invention. Thus, 3-(azetidin-3-ylidene-methyl)-4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine was prepared and tested in vitro as kinase modulator (IC50 > 1000 nM).

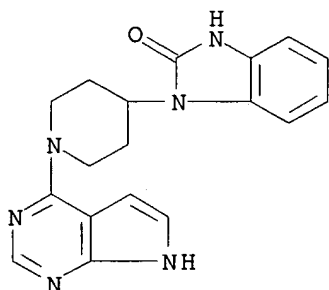
IT 252722-35-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and structure activity of pyrazolopyrimidine derivs. as antitumor agents and kinase modulators)

RN 252722-35-3 HCAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[1-(1H-pyrrolo[2,3-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1259353 HCAPLUS

DOCUMENT NUMBER: 144:22759

TITLE: Preparation of purine quinazolinones as inhibitors of human phosphatidylinositol 3-kinase delta

INVENTOR(S): Fowler, Kerry W.; Huang, Danwen; Kesicki, Edward A.; Ooi, Hua Chee; Oliver, Amy R.; Ruan, Fuqiang; Treiberg, Jennifer

PATENT ASSIGNEE(S): Icos Corporation, USA

SOURCE: PCT Int. Appl., 247 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005113556	A1	20051201	WO 2005-US16778	20050512
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,				

EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

WO 2005113554 A2 20051201 WO 2005-US16661 20050512
WO 2005113554 A3 20060406

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
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MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

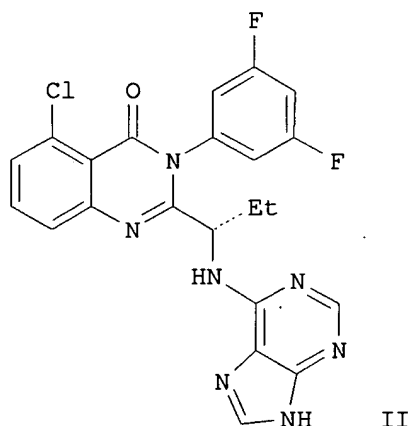
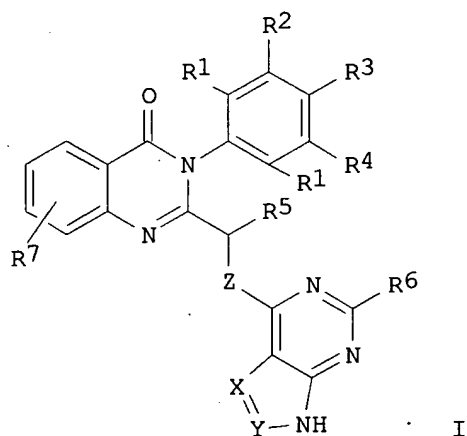
US 2004-570784P

P 20040513

OTHER SOURCE(S):

MARPAT 144:22759

GI



AB Quinazolinone derivs. of formula I [X, Y = N, (substituted) CH; Z = NH, O; R1-R3 = H, halo, alkyl; R4 = H, halo, OH, alkoxy, CN, acyl, etc.; R5 = alkyl, Ph, CH2C.tplbond.CH, etc.; R6 = H, halo, (substituted) NH2; R7 = alkyl, halo, CF3, etc.; ZR5 = alkylene] are prepared that inhibit PI3K δ activity. Methods of inhibiting phosphatidylinositol 3-kinase delta isoform (PI3K δ) activity, and methods of treating diseases, such as disorders of immunity and inflammation in which PI3K δ plays a role in leukocyte function, using the compds. also are disclosed. Thus, II was prepared, and had EC50 value of 1.6 nM in human B lymphocyte assay.

IT 870281-11-1P

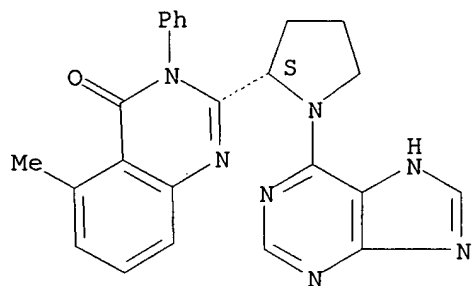
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of purine quinazolinones as inhibitors of human phosphatidylinositol 3-kinase δ)

RN 870281-11-1 HCAPLUS

CN 4(3H)-Quinazolinone, 5-methyl-3-phenyl-2-[(2S)-1-(1H-purin-6-yl)-2-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:232615 HCAPLUS

DOCUMENT NUMBER: 142:291403

TITLE: Use of phosphodiesterase 4 (PDE4) inhibitors for the treatment of diabetes mellitus

INVENTOR(S): Hauser, Daniela; Hanauer, Guido; Grundler, Gerhard; Schmidt, Beate; Kemkowski, Joerg; Kley, Hans-Peter

PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

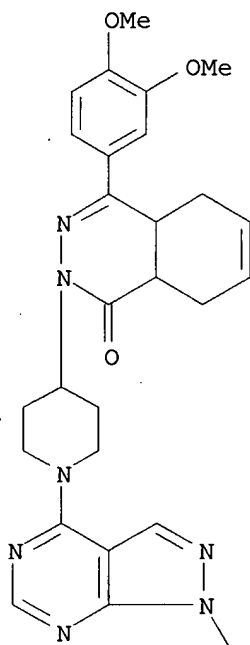
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023253	A1	20050317	WO 2004-EP52005	20040902
WO 2005023253	A8	20060302		
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004269923	A2	20050317	AU 2004-269923	20040902
AU 2004269923	A1	20050317		
CA 2537230	A1	20050317	CA 2004-2537230	20040902
US 2006281745	A1	20061214	US 2006-570622	20060303
PRIORITY APPLN. INFO.:			EP 2003-20126	A 20030905
			WO 2004-EP52005	W 20040902
AB	The invention discloses the use of certain known PDE4 inhibitors for the treatment of diabetes mellitus and accompanying disorders thereof.			
IT	449760-28-5			
	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)			
	(phosphodiesterase 4 inhibitors for treatment of diabetes mellitus)			
RN	449760-28-5 HCAPLUS			
CN	1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)			



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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1036929 HCAPLUS

DOCUMENT NUMBER: 142:16825

TITLE: Composition comprising a PDE4 inhibitor and a PDE5 inhibitor

INVENTOR(S): Dunkern, Thorsten; Hatzelmann, Armin; Schudt, Christian; Grimminger, Friedrich; Ghofrani, Hossein Ardeschir

PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103407	A2	20041202	WO 2004-EP50869	20040519
WO 2004103407	A3	20050217		

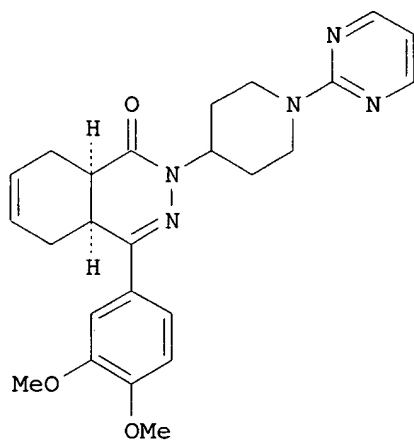
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004241749	A1	20041202	AU 2004-241749	20040519
CA 2525946	A1	20041202	CA 2004-2525946	20040519
EP 1628682	A2	20060301	EP 2004-766017	20040519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004010326	A	20060523	BR 2004-10326	20040519
CN 1791429	A	20060621	CN 2004-80013349	20040519
JP 2006528229	T	20061214	JP 2006-530210	20040519
US 2006094723	A1	20060504	US 2005-556888	20051115
NO 2005005941	A	20051214	NO 2005-5941	20051214
PRIORITY APPLN. INFO.:			EP 2003-11609	A 20030522
			WO 2004-EP50869	W 20040519

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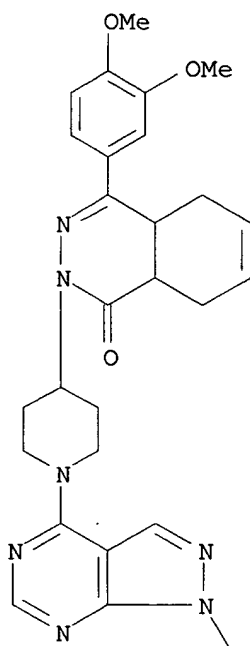


AB The invention relates to the combined administration of a PDE4 inhibitor and a PDE5 inhibitor for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or phosphodiesterase 5 (PDE5) activity is detrimental. Patients were administered orally one tablet of Roflumilase and once daily a tablet of Viagra. An example of another selected PDE4 inhibitor is I.

IT 449760-28-5
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition comprising a PDE4 inhibitor and a PDE5 inhibitor)

RN 449760-28-5 HCAPLUS

CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



Me

L4 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:996001 HCAPLUS
 DOCUMENT NUMBER: 141:406065
 TITLE: Composition comprising a PDE-4 inhibitor and a
 TNF-alpha antagonist
 INVENTOR(S): Barsig, Johannes; Weimar, Christian
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany
 SOURCE: PCT Int. Appl., 29 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098633	A1	20041118	WO 2004-EP50748	20040510
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,			

EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

PRIORITY APPLN. INFO.:

EP 2003-10581

A 20030512

AB The invention relates to the combined administration of a PDE4 inhibitor and a TNF α antagonist selected from the group consisting of etanercept, onercept and pegsunercept for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or tumor necrosis factor alpha (TNF α) activity is detrimental.

IT 449760-28-5

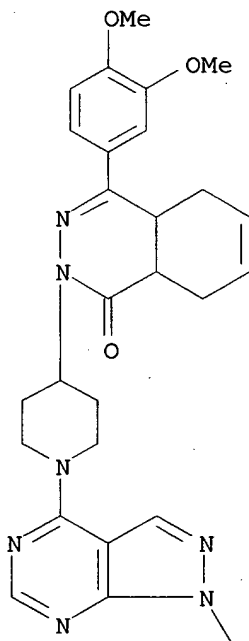
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(therapeutic activity of phosphodiesterase 4 inhibitors and TNF α antagonists)

RN 449760-28-5 HCAPLUS

CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:995979 HCAPLUS

DOCUMENT NUMBER: 141:406064

TITLE: Composition comprising a PDE4 inhibitor and soluble

human Type II interleukin-1 receptor (shuIL-1RII) for
disease therapy
INVENTOR(S): Barsig, Johannes
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098606	A1	20041118	WO 2004-EP50749	20040510
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: EP 2003-10596 A 20030512

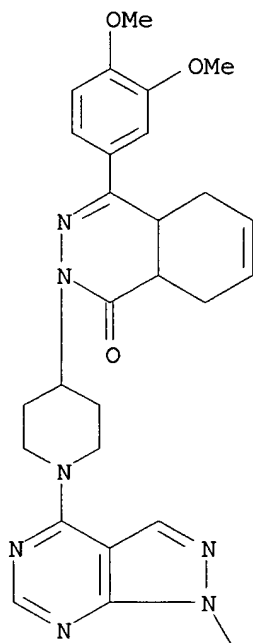
AB The invention relates to the combined administration of a PDE4 inhibitor and shuIL-1R II for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or interleukin-1 (IL-1) activity is detrimental.

IT 449760-28-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition comprising a PDE4 inhibitor and soluble human Type II interleukin-1 receptor (shuIL-1RII) for disease therapy)

RN 449760-28-5 HCAPLUS

CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:995978 HCAPLUS

DOCUMENT NUMBER: 141:406063

TITLE: Pharmaceutical composition comprising a PDE4 inhibitor and IL-1 trap for treatment of disease

INVENTOR(S): Barsig, Johannes

PATENT ASSIGNEE(S): Altana Pharma AG, Germany

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098605	A1	20041118	WO 2004-EP50747	20040510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

PRIORITY APPLN. INFO.:

EP 2003-10631

A 20030512

AB The invention relates to the combined administration of a PDE4 inhibitor and IL-1 Trap for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or interleukin-1 (IL-1) activity is detrimental.

IT 449760-28-5

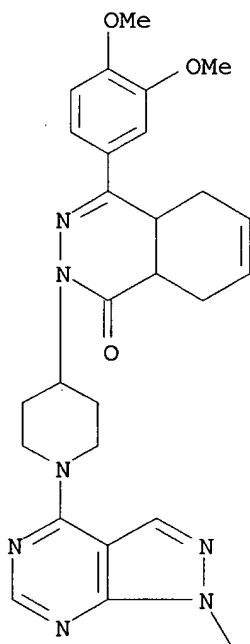
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(pharmaceutical composition comprising a PDE4 inhibitor and IL-1 trap for treatment of disease)

RN 449760-28-5 HCAPLUS

CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:995956 HCAPLUS

DOCUMENT NUMBER: 141:416024

TITLE: Composition comprising a PDE4 inhibitor and a

INVENTOR(S): TNF α antagonist
 Barsig, Johannes; Weimar, Christian
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany
 SOURCE: PCT Int. Appl., 23 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098578	A2	20041118	WO 2004-EP50750	20040510
WO 2004098578	A3	20041229		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: EP 2003-10593 A 20030512

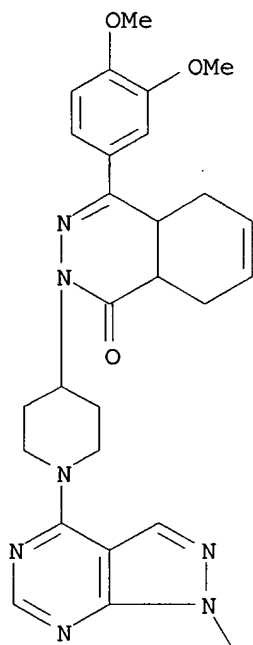
AB The invention relates to the combined administration of a PDE4 inhibitor and a TNF α antagonist selected from the group consisting of infliximab, adalimumab, cdp870 and cdp571 for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or tumor necrosis factor alpha (TNF α) activity is detrimental.

IT 449760-28-5

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmaceutical injections containing phosphodiesterase 4 inhibitors in combination with TNF α antagonists for treatment of arthritis and other diseases)

RN 449760-28-5 HCAPLUS

CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



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L4 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:610086 HCAPLUS

DOCUMENT NUMBER: 141:134069

TITLE: PDE4 inhibitors for the treatment of neoplasms of lymphoid cells

INVENTOR(S): Hatzelmann, Armin; Tenor, Hermann; Gekeler, Volker; Sanders, Karl; Garattini, Enrico; Braunger, Juergen; Schudt, Christian

PATENT ASSIGNEE(S): Altana Pharma Ag, Germany

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062671	A2	20040729	WO 2004-EP196	20040114
WO 2004062671	A3	20050127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
AU 2004204355	A1	20040729	AU 2004-204355	20040114

CA 2512819	A1	20040729	CA 2004-2512819	20040114
EP 1587512	A2	20051026	EP 2004-701902	20040114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006515367	T	20060525	JP 2006-500561	20040114
US 2006148804	A1	20060706	US 2005-542088	20050713
PRIORITY APPLN. INFO.:			EP 2003-787	A 20030114
			WO 2004-EP196	W 20040114

OTHER SOURCE(S): MARPAT 141:134069

AB The invention relates to the use of certain PDE4 inhibitors alone or in combination with one or more differentiation inducing agents and/or an agent effective in raising intracellular concns. of cAMP or a stable analog of cAMP in the preparation of pharmaceutical compns. for the treatment of neoplasms of lymphoid cells.

IT 449760-28-5

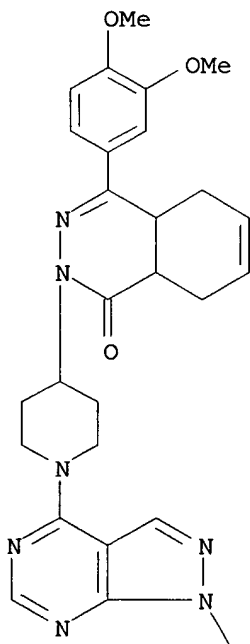
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(phosphodiesterase 4 (PDE4) inhibitors for treatment of neoplasms of lymphoid cells in combination with differentiation inducers and agents that increase cAMP levels or cAMP analogs)

RN 449760-28-5 HCAPLUS

CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



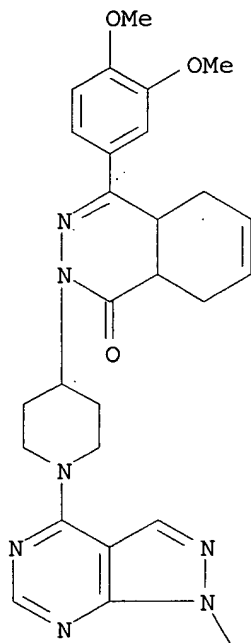
PAGE 2-A

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L4 ANSWER 11 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:719308 HCAPLUS
 DOCUMENT NUMBER: 139:240373
 TITLE: Pharmaceutical composition of a phosphodiesterase 4 (PDE4) inhibitor or a PDE3/4 inhibitor and a histamine receptor antagonist for the treatment of respiratory diseases
 INVENTOR(S): Beume, Rolf; Bundschuh, Daniela; Weimar, Christian; Wollin, Stefan-lutz
 PATENT ASSIGNEE(S): Altana Pharma Ag, Germany
 SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074055	A1	20030912	WO 2003-EP1876	20030225
W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
CA 2478612	A1	20030912	CA 2003-2478612	20030225
AU 2003212268	A1	20030916	AU 2003-212268	20030225
EP 1482938	A1	20041208	EP 2003-708130	20030225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008220	A	20050104	BR 2003-8220	20030225
US 2005112069	A1	20050526	US 2003-506875	20030225
JP 2005524666	T	20050818	JP 2003-572572	20030225
NZ 535611	A	20060331	NZ 2003-535611	20030225
NO 2004004230	A	20041206	NO 2004-4230	20041006
PRIORITY APPLN. INFO.:			EP 2002-4987	A 20020306
			WO 2003-EP1876	W 20030225
AB	The invention discloses the combined administration of PDE4 or PDE3/4 inhibitors and histamine receptor antagonists for the treatment of respiratory diseases.			
IT	449760-28-5 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (phosphodiesterase 4 (PDE4) inhibitor or PDE3/4 inhibitor combination with histamine receptor antagonist for treatment of respiratory disease)			
RN	449760-28-5 HCAPLUS			
CN	1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)			



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REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:637671 HCAPLUS

DOCUMENT NUMBER: 137:185496

TITLE: Preparation of piperidinyl benzopyridazine derivatives as PDE4 inhibitors for treatment of airway disorders

INVENTOR(S): Hatzelmann, Armin; Bundschuh, Daniela; Kley, Hans-peter; Timmerman, Hendrik; Christiaans, Johannes A. M.; Grundler, Gerhard; Gutterer, Beate; Sterk, Geert Jan

PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik Gmbh, Germany

SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

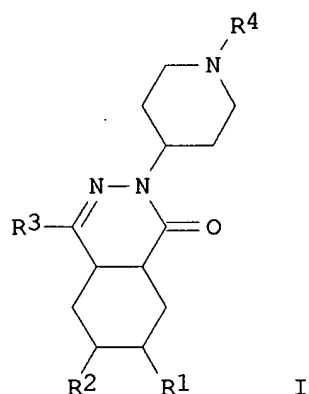
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064584	A1	20020822	WO 2002-EP1547	20020214
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, DZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,				

MD, RU, TJ, TM
 RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
 PT, SE, TR

CA 2438520	A1	20020822	CA 2002-2438520	20020214
EE 200300311	A	20031015	EE 2003-311	20020214
EP 1362044	A1	20031119	EP 2002-701277	20020214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 200303193	A2	20031229	HU 2003-3193	20020214
BR 2002007278	A	20040210	BR 2002-7278	20020214
JP 2004518727	T	20040624	JP 2002-564515	20020214
CN 1524080	A	20040825	CN 2002-805038	20020214
NZ 527424	A	20050225	NZ 2002-527424	20020214
US 2004067946	A1	20040408	US 2003-467832	20030813
US 6953853	B2	20051011		
NO 2003003618	A	20031015	NO 2003-3618	20030814
BG 108124	A	20040831	BG 2003-108124	20030821
ZA 2003006815	A	20040617	ZA 2003-6815	20030901
US 2005234062	A1	20051020	US 2005-143721	20050603
PRIORITY APPLN. INFO.:			EP 2001-103496	A 20010215
			WO 2002-EP1547	W 20020214
			US 2003-467832	A1 20030813

OTHER SOURCE(S): MARPAT 137:185496
 GI



AB Piperidinyl benzopyridazine derivs. [I; wherein R1 and R2 = H, or together form an addnl. bond; R3 = substituted benzene, benzopyran derivative; R4 = (C1-C4)alkoxy, optionally substituted with fluorine] were prepared. Thus, to a solution of (4aS,8aR)-4-(3,4-diethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (synthetic preparation given) and p-TsCl in pyridine is stirred to give (4aS,8aR)-4-(3,4-diethoxyphenyl)-2-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one. The prepared compds. are effective PDE4 inhibitors useful in the treatment of airway disorders.

IT 449760-28-5P

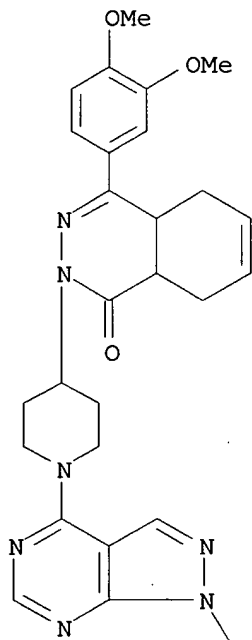
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidinyl benzopyridazine derivs. as PDE4 inhibitors for treatment of airway disorders)

RN 449760-28-5 HCAPLUS

CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

Me

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:171900 HCAPLUS

DOCUMENT NUMBER: 136:216764

TITLE: Process for the preparation of 3-(6-piperidinylpurin-9-yl)propionates as vitronectin receptor antagonists

INVENTOR(S): Peyman, Anuschirwan; Schubert, Gerrit

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018384	A1	20020307	WO 2001-EP9985	20010829
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK,				

LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PH, PL, RO, SG, SI, SK,
 TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

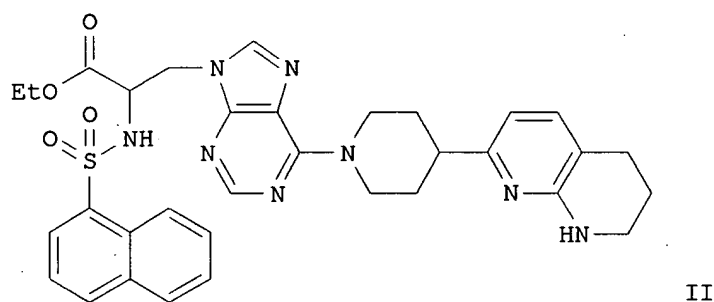
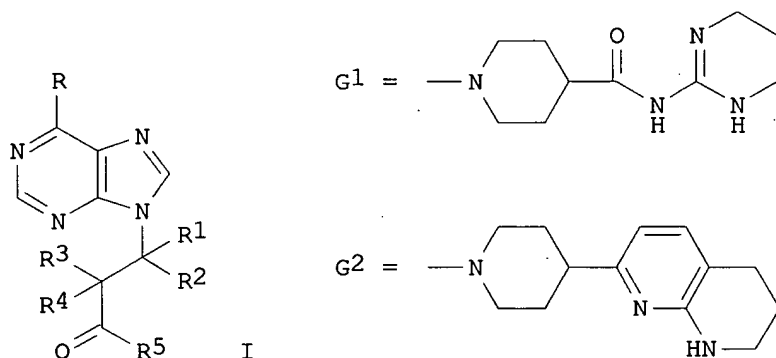
DE 10042655	A1	20020314	DE 2000-10042655	20000831
AU 2001093791	A5	20020313	AU 2001-93791	20010829
EP 1315728	A1	20030604	EP 2001-974220	20010829
EP 1315728	B1	20041027		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004507544	T	20040311	JP 2002-523899	20010829
AT 280769	T	20041115	AT 2001-974220	20010829
ES 2232665	T3	20050601	ES 2001-1974220	20010829
US 2004248907	A1	20041209	US 2003-363450	20030401
US 6992187	B2	20060131		

PRIORITY APPLN. INFO.: DE 2000-10042655 A 20000831
 WO 2001-EP9985 W 20010829

OTHER SOURCE(S): CASREACT 136:216764; MARPAT 136:216764
 GI



AB The present invention relates to a process for the preparation of vitronectin receptor antagonists I [wherein R = G1 or G2; R1, R2, R3, and R4 = independently H, F, Cl, CN, (un)substituted alkyl, cycloalkyl(alkyl), or aryl(alkyl), or R6OR7, R6R6'NR7, R6COR7, R6SO2N(R9)R7, R6OCON(R9)R7, R6CON(R5)R7, R6N(R9)CON(R9)R7, R6N(R9)SO2N(R9)R7, R6SO2R7, R6SCON(R9)R7, R6N(R9)COR7, R6N(R9)SO2R7, R6N(R9)R7, or heterocyclyl; R5 = OH, (aryl)alkoxy, alkylcarbonyloxyalkoxy, or cyclo(alkyl)alkoxy; R6 and R6' = independently (un)substituted alkyl, cycloalkyl(alkyl), aryl(alkyl), or heterocyclyl; R7 = independently alkanediyl or a direct bond; R9 = H or

alkyl; and stereoisomers and salts thereof] by coupling a 9-chloropurine I [R = Cl] to a 4-substituted piperidine and comprises an efficient method for the preparation of I [R = Cl]. In contrast to prior art, the process according to the invention gives good yields in a lower number of steps and can be used advantageously for the syntheses on a relatively large scale. For example, Et (2S)-2-(naphthalene-1-sulfonylamino)-3-aminopropionate was aminated with 4,6-dichloro-5-nitropyrimidine in THF in the presence of TEA and then reduced to the amine using SnCl₂ in EtOH. Cyclocondensation with tri-Et orthoformate in N-methylpyrrolidone in the presence of EtSO₃H gave the 6-chloropurine. Reaction with 7-(piperidin-4-yl)-1,2,3,4-tetrahydro-[1,8]naphthyridine in DMF and diisopropylethylamine at 70°C for 3 h afforded the piperidinylpurinylpropionate II.

IT 402501-87-5P, Ethyl (2S)-2-(naphthalene-1-sulfonylamino)-3-[6-[4-(5,6,7,8-tetrahydro[1,8]naphthyridin-2-yl)piperidin-1-yl]purin-9-yl]propionate

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

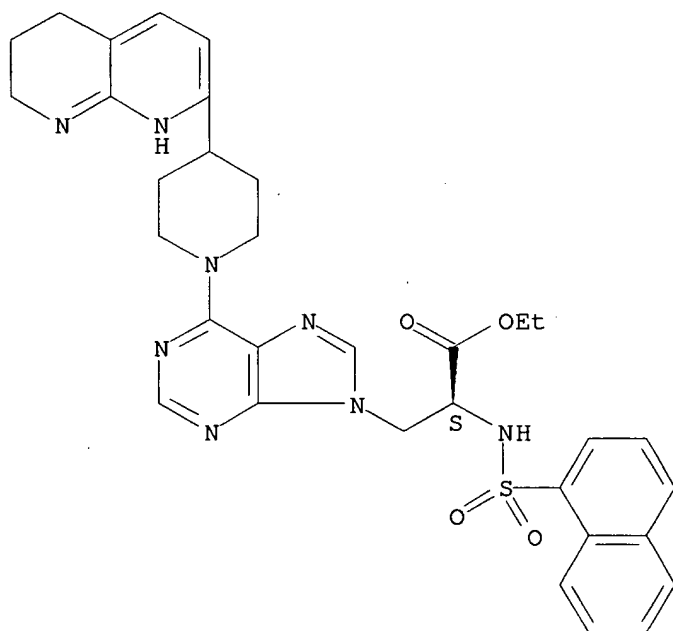
(target compound; process for preparation purinylpropionate vitronectin receptor antagonists starting from nitropyrimidines and aminopropionates)

RN 402501-87-5 HCAPLUS

CN 9H-Purine-9-propanoic acid, α -[(1-naphthalenylsulfonyl)amino]-6-[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)-1-piperidinyl]-, ethyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2001:10662 HCAPLUS
 DOCUMENT NUMBER: 134:71600
 TITLE: Naphthyridine derivatives, processes for their preparation, their use as vitronectin receptor antagonists and inhibitors of cell adhesion, and pharmaceutical compositions comprising them
 INVENTOR(S): Peyman, Anuschirwan; Scheunemann, Karl-Heinz; Gourvest, Jean-Francois; Ruxer, Jean-Marie; Gadek, Thomas R.
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany; Genentech, Inc.
 SOURCE: Eur. Pat. Appl., 36 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1065207	A1	20010103	EP 1999-112636	19990702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2376668	A1	20010111	CA 2000-2376668	20000626
WO 2001002398	A1	20010111	WO 2000-EP5920	20000626
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000012129	A	20020507	BR 2000-12129	20000626
EP 1210348	A1	20020605	EP 2000-945825	20000626
EP 1210348	B1	20050928		
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TR 200103856	T2	20020621	TR 2001-3856	20000626
JP 2003503496	T	20030128	JP 2001-507835	20000626
NZ 516058	A	20030131	NZ 2000-516058	20000626
HU 200203539	A2	20030228	HU 2002-3539	20000626
EE 200100711	A	20030415	EE 2001-711	20000626
AU 775386	B2	20040729	AU 2000-59787	20000626
AT 305471	T	20051015	AT 2000-945825	20000626
ES 2250157	T3	20060416	ES 2000-945825	20000626
TW 593319	B	20040621	TW 2000-89117925	20000901
BG 106257	A	20021031	BG 2001-106257	20011220
HR 2001000946	A1	20030228	HR 2001-946	20011221
NO 2001006404	A	20020301	NO 2001-6404	20011228
ZA 2002000016	A	20030102	ZA 2002-16	20020102
US 6743800	B1	20040601	US 2002-30301	20020320
HK 1050003	A1	20050429	HK 2003-102163	20030325
US 2004198718	A1	20041007	US 2004-808496	20040324
PRIORITY APPLN. INFO.:			EP 1999-112636	A 19990702
			WO 2000-EP5920	W 20000626
			US 2002-30301	A3 20020320

OTHER SOURCE(S): MARPAT 134:71600
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to compds. I. G is $-(CR1R2)_n-A-(CR1R2)_m-(CR1R3)_i-(CR1R2)_q-R4$. A is a direct bond, $-C(O)NR5-$, $-NR5C(O)-$, $-C(O)-$, $-NR5-$, $-O-$, $-S-$, $-S(O)-$, $-S(O)2-$, (C2-C4)alkynediyl, (C2-C4)alkenediyl, (C5-C14)arylene where in the arylene residue 1-5 ring C atoms can be replaced by heteroatoms N, O and S, or a divalent residue of a 3-7-membered saturated or unsatd. ring which can contain 1-2 ring heteroatoms N, S and O and which can be monosubstituted or disubstituted by residues :O, :S and R3. B is (C1-C18)alkyl, (C3-C14)cycloalkyl, (C3-C14)cycloalkyl(C1-C8)alkyl, (C5-C14)aryl, (C5-C14)aryl(C1-C8)alkyl, (C5-C14)heteroaryl, (C5-C14)heteroaryl(C1-C8)alkyl, F, Cl, Br, OH, CN, CF3, NO2, CO2H, (C1-C6)alkoxy, (C1-C6)alkoxy(C1-C6)alkyl, (C1-C6)alkoxycarbonyl, (C1-C6)alkylcarbonyl, (C5-C14)arylcarbonyl, (C1-C6)alkylaminocarbonyl, (C1-C6)alkoxy(C1-C6)alkoxy, (C5-C14)aryl(C1-C8)alkylcarbonyl, (C1-C6)alkanoylamino, (C1-C6)alkylsulfonylamino, (C5-C14)arylsulfonylamino, (C1-C6)alkylamino, di((C1-C6)alkyl)amino, (C1-C6)alkylsulfonyl, aminosulfonyl, (C5-C14)arylsulfonyl, (C5-C14)aryl(C1-C8)alkylsulfonyl, (C5-C14)aryl or (C5-C14)heteroaryl, where all residues B are independent of one another and can be identical or different. X is H, NR6R6', F, Cl, Br, OR6, SR6, hydroxy(C1-C6)alkyl-NH-, (hydroxy(C1-C6)alkyl)2N-, amino(C1-C6)alkyl-NH-, (amino(C1-C6)alkyl)2N-, hydroxy(C1-C6)alkyl-O-, hydroxy(C1-C6)alkyl-S- or -NH-C(O)-R6. Y is R5, F, Cl, Br, CN, NR6R6', OR6, SR6 or hydroxy(C1-C6)alkyl-NH-. Z is N or CH. R1 and R2 are H, F, Cl, CN, NO2, (C1-C10)alkyl, (C3-C14)cycloalkyl, (C3-C14)cycloalkyl(C1-C8)alkyl, (C5-C14)aryl, (C5-C14)aryl(C1-C8)alkyl, (C5-C14)heteroaryl, (C5-C14)heteroaryl(C1-C8)alkyl, R6-O-R7, R6-S(O)p-R7, R6S(O)2NHR7, R6OC(O)NHR7 or R6R6'N-R7, where all residues R1 and R2 are independent of one another and can be identical or different. R3 is H, F, Cl, CN, NO2, (C1-C18)alkyl, (C3-C14)cycloalkyl, (C3-C14)cycloalkyl(C1-C8)alkyl, (C5-C14)aryl, (C5-C14)aryl(C1-C8)alkyl, (C5-C14)heteroaryl, (C5-C14)heteroaryl(C1-C8)alkyl, R6-O-R7, R6R6'N-R7, R6C(O)-O-R7, R6C(O)R7, R6OC(O)R7, R6N(R6')C(O)OR7, R6S(O)pN(R5)R7, R6OC(O)N(R5)R7, R6C(O)N(R5)R7, R6N(R6')C(O)N(R5)R7, R6N(R6')S(O)pN(R5)R7, R6S(O)pR7, R6SC(O)N(R5)R7, R6N(R6')C(O)R7 or R6N(R6')S(O)pR7, where alkyl can be monounsaturated or polyunsaturated and where alkyl, cycloalkyl, aryl, and heteroaryl can be monosubstituted or polysubstituted by R6, F, Cl, Br, CN, CF3, R6R6'NR7, NO2, R6OC(O)R7, R6C(O)R7, R6N(R6')C(O)R7, R6N(R6')S(O)pR7 or R6-O-R7, and where all residues R3 are independent of one another and can be identical or different. R4 is $-C(O)R8$, $-C(S)R8$, $-S(O)pR8$, $-P(O)R8R8'$ or a residue of a 4-8-membered saturated or unsaturated heterocycle which contains 1-4 heteroatoms N, O and S. R5 is H, (C1-C10)alkyl, (C3-C14)cycloalkyl, (C3-C14)cycloalkyl(C1-C8)alkyl, (C5-C14)aryl or (C5-C14)aryl(C1-C8)alkyl, where all residues R5 are independent of one another and can be identical or different. R6 and R6' are H, (C1-C18)alkyl, (C3-C14)cycloalkyl, (C3-C14)cycloalkyl(C1-C8)alkyl, (C5-C14)aryl, (C5-C14)aryl(C1-C8)alkyl, (C5-C14)heteroaryl or (C5-C14)heteroaryl(C1-C8)alkyl where aryl, heteroaryl, cycloalkyl and alkyl can be substituted 1-3 times by identical or different substituents F, Cl, Br, CN, CF3, NO2, CO2H, (C1-C6)alkyl, (C1-C6)alkoxy, (C1-C6)alkoxy(C1-C6)alkyl, (C1-C6)alkoxycarbonyl, (C1-C6)alkylcarbonyl, (C1-C6)alkylaminocarbonyl, (C1-C6)alkoxy(C1-C6)alkoxy, (C5-C14)arylcarbonyl, (C5-C14)aryl(C1-C8)alkylcarbonyl, (C1-C6)alkanoylamino, (C5-C14)arylsulfonylamino, (C1-C6)alkylsulfonylamino, (C1-C6)alkylamino, di((C1-C6)alkyl)amino, (C1-C6)alkylsulfonyl, (C1-C6)alkylaminosulfonyl, (C5-C14)arylaminosulfonyl, (C5-C14)aryl(C1-C8)alkylaminosulfonyl, (C5-C14)arylsulfonyl, (C5-C14)aryl(C1-C8)alkylsulfonyl, (C5-C14)aryl and (C5-C14)heteroaryl, and where all residues R6 and R6' are independent of one another and can be identical or different. R7 is (C1-C4)alkanediyl or

a direct bond, where all residues R7 are independent of one another and can be identical or different. R8 and R8' are OH, (C1-C8)alkoxy, (C5-C14)aryl(C1-C8)alkoxy, (C5-C14)aryloxy, (C1-C8)alkylcarbonyloxy(C1-C4)alkoxy, (C5-C14)aryl(C1-C8)alkylcarbonyloxy(C1-C8)alkoxy, NR6R6', (di((C1-C8)alkyl) amino)carbonylmethyloxy, (di((C5-C14)aryl(C1-C8)alkyl)amino)carbonylmethyloxy, (C5-C14)arylamino, the residue of an amino acid, N-((C1-C4)alkyl)piperidin-4-yloxy, 2-methylsulfonylethoxy, 1,3-thiazol-2-ylmethyloxy, 3-pyridylmethyloxy, 2-(di((C1-C4)alkyl)amino)ethoxy or the residue Q-(CH3)3N+-CH2-CH2-O- in which Q- is a physiol. tolerable anion, where all residues R8 and R8' are independent of one another and can be identical or different. N is 0-5; m is 0-5; i is 0-1; q is 0-2; r is 0-2; s is 0-3; t is 0-8; p is 0-2, where all nos. p are independent of one another and can be identical or different. The claimed compds. also include stereoisomeric forms and mixts. thereof in all ratios, and their physiol. tolerable salts and their prodrugs; where, instead of the purine structure shown I, also a 3-deazapurine structure, a 7-deazapurine structure or a 7-deaza-8-azapurine structure can be present. I are valuable pharmacol. active compds. They are vitronectin receptor antagonists and inhibitors of cell adhesion and are suitable for the therapy and prophylaxis of illnesses which are based on the interaction between vitronectin receptors and their ligands in cell-cell or cell-matrix interaction processes or which can be prevented, alleviated or cured by influencing such interactions. For example, they can be applied for inhibiting bone resorption by osteoclasts and thus for treating and preventing osteoporosis, or for inhibiting undesired angiogenesis or proliferation of cells of the vascular smooth musculature. The invention furthermore relates to processes for the preparation of I, their use, in particular as active ingredients in pharmaceuticals, and pharmaceutical compns. comprising them. The process of preparation comprises reacting II (L1 = leaving group) with III or IV; B, G, X, Y, r, s and t are defined as above but wherein functional groups can also be present in the form of precursor groups or in protected form. For example, (2S)-2-benzyloxycarbonylamino-3-(6-(4-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)piperidin-1-yl)purin-9-yl)propionic acid tert-Bu ester could be made from 7-(piperidin-4-yl)-1,2,3,4-tetrahydro-1,8-naphthyridine and (S)-2-benzyloxycarbonylamino-3-(6-chloropurin-9-yl)propionic acid tert-Bu ester in DMF in the presence of NEt₃Pr₂; the ester was then hydrolyzed by CF₃CO₂H to give the desired compound

IT 315240-30-3P, (2S)-2-Benzyloxycarbonylamino-3-(6-(4-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)piperidin-1-yl)purin-9-yl)propionic acid tert-butyl ester 315240-32-5P, (2S)-2-Amino-3-(6-(4-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)piperidin-1-yl)purin-9-yl)propionic acid tert-butyl ester 315240-34-7P, (2S)-2-Benzenesulfonylamino-3-(6-(4-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)piperidin-1-yl)purin-9-yl)propionic acid tert-butyl ester

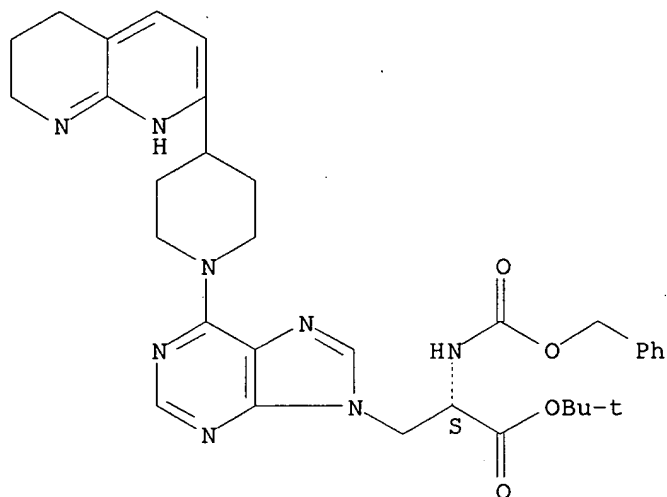
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; naphthyridine derivs., processes for preparation, uses as vitronectin receptor antagonists and inhibitors of cell adhesion, and pharmaceutical compns. comprising them)

RN 315240-30-3 HCAPLUS

CN 9H-Purine-9-propanoic acid, α-[[[(phenylmethoxy)carbonyl]amino]-6-[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)-1-piperidinyl]-, 1,1-dimethylethyl ester, (αS)- (9CI) (CA INDEX NAME)

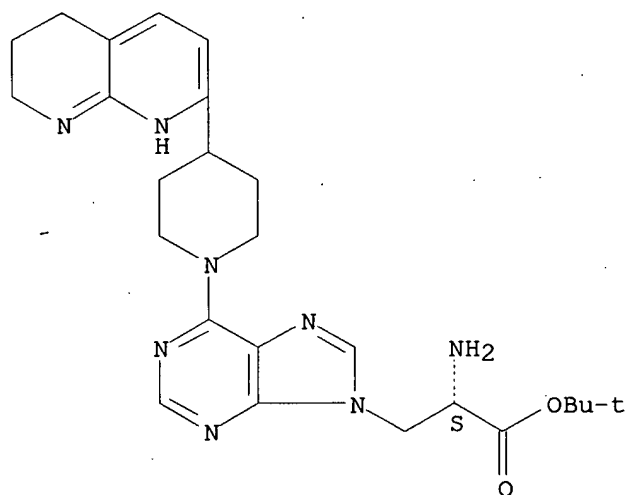
Absolute stereochemistry.



RN 315240-32-5 HCAPLUS

CN 9H-Purine-9-propanoic acid, α-amino-6-[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)-1-piperidinyl]-, 1,1-dimethylethyl ester, (αS)- (9CI) (CA INDEX NAME)

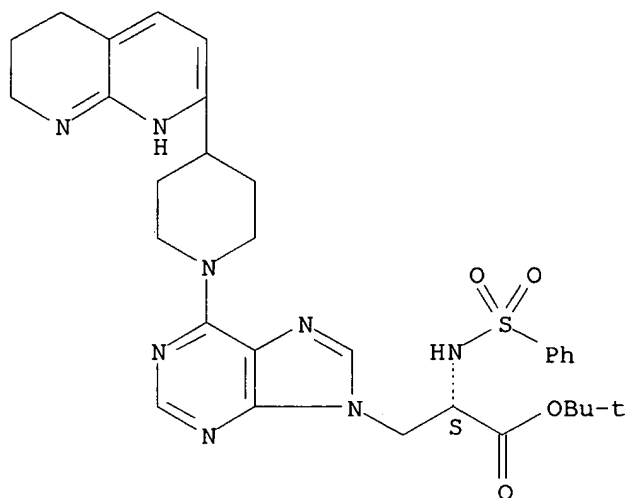
Absolute stereochemistry.



RN 315240-34-7 HCAPLUS

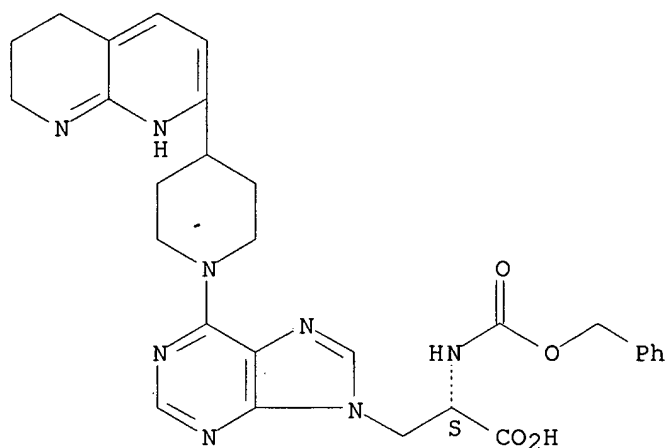
CN 9H-Purine-9-propanoic acid, α-[(phenylsulfonyl)amino]-6-[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)-1-piperidinyl]-, 1,1-dimethylethyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



- IT 315240-14-3P, (2S)-2-Benzoyloxycarbonylamino-3-(6-(4-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)piperidin-1-yl)purin-9-yl)propionic acid
 315240-16-5P, (2S)-2-Benzenesulfonylamino-3-(6-(4-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)piperidin-1-yl)purin-9-yl)propionic acid
 315240-18-7P, (2S)-2-(4-Chlorobenzenesulfonylamino)-3-(6-(4-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)piperidin-1-yl)purin-9-yl)propionic acid 315240-20-1P, (2S)-2-(Naphthalene-1-sulfonylamino)-3-(6-(4-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)piperidin-1-yl)purin-9-yl)propionic acid 315240-22-3P, (2S)-3-(6-(4-(5,6,7,8-Tetrahydro-1,8-naphthyridin-2-yl)piperidin-1-yl)purin-9-yl)-2-(4-trifluoromethylbenzenesulfonylamino)propionic acid
 315240-24-5P, (2S)-2-(Butane-1-sulfonylamino)-3-(6-(4-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)piperidin-1-yl)purin-9-yl)propionic acid
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (naphthyridine derivs., processes for preparation, uses as vitronectin receptor antagonists and inhibitors of cell adhesion, and pharmaceutical compns. comprising them)
 RN 315240-14-3 HCAPLUS
 CN 9H-Purine-9-propanoic acid, α -[[[(phenylmethoxy)carbonyl]amino]-6-[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)-1-piperidinyl]-, (α S)- (9CI) (CA INDEX NAME)

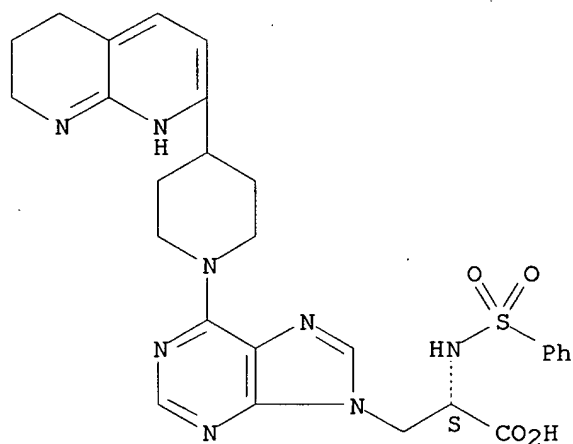
Absolute stereochemistry.



RN 315240-16-5 HCAPLUS

CN 9H-Purine-9-propanoic acid, α-[(phenylsulfonyl)amino]-6-[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)-1-piperidinyl]-, (αS)- (9CI) (CA INDEX NAME)

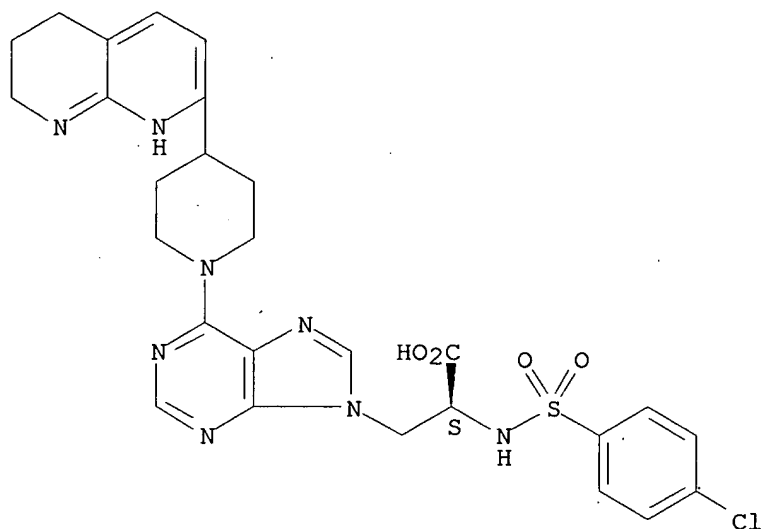
Absolute stereochemistry.



RN 315240-18-7 HCAPLUS

CN 9H-Purine-9-propanoic acid, α-[[[(4-chlorophenyl)sulfonyl]amino]-6-[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)-1-piperidinyl]-, (αS)- (9CI) (CA INDEX NAME)

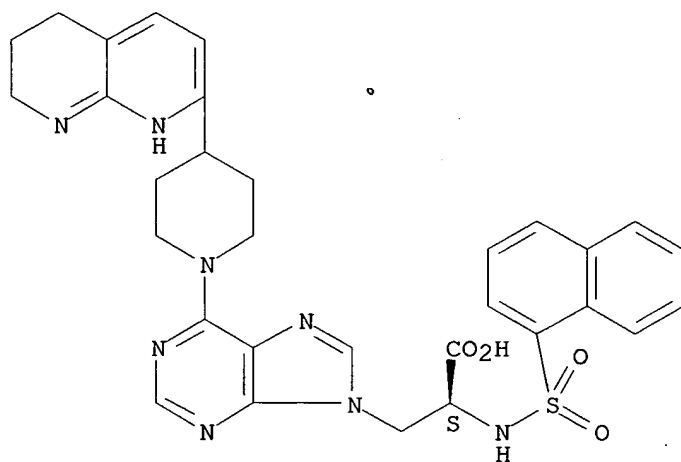
Absolute stereochemistry.



RN 315240-20-1 HCAPLUS

CN 9H-Purine-9-propanoic acid, α-[(1-naphthalenylsulfonyl)amino]-6-[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)-1-piperidinyl]-, (αS)- (9CI) (CA INDEX NAME)

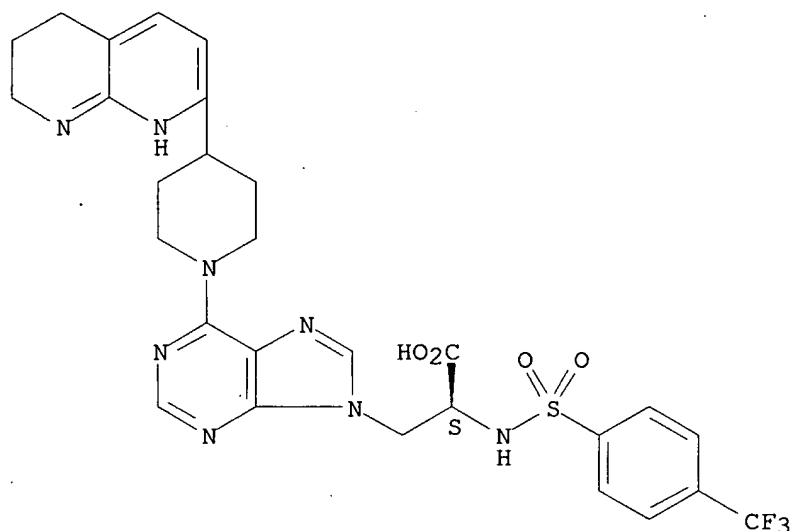
Absolute stereochemistry.



RN 315240-22-3 HCAPLUS

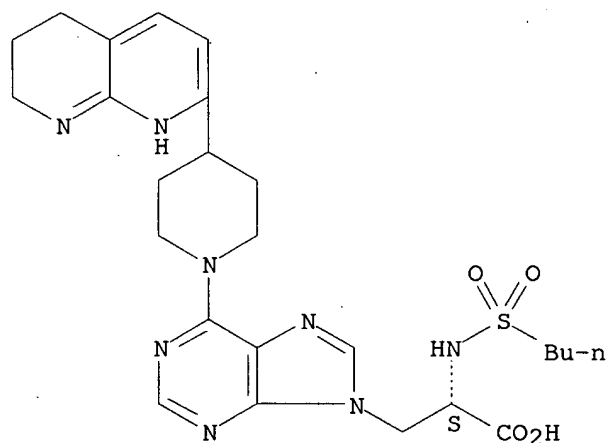
CN 9H-Purine-9-propanoic acid, 6-[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)-1-piperidinyl]-α-[[4-(trifluoromethyl)phenyl]sulfonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 315240-24-5 HCAPLUS
 CN 9H-Purine-9-propanoic acid, α-[(butylsulfonyl)amino]-6-[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)-1-piperidinyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:811245 HCAPLUS

DOCUMENT NUMBER: 132:49976

TITLE: Preparation of pyrrolo[2,3-d]pyrimidines as inhibitors of protein tyrosine kinases such as Janus Kinase 3
 INVENTOR(S): Blumenkopf, Todd Andrew; Flanagan, Mark Edward; Brown, Matthew Frank; Changelian, Paul Steven

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

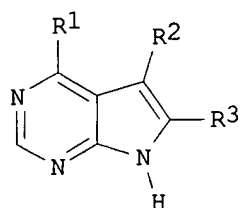
DOCUMENT TYPE: Patent

LANGUAGE: English

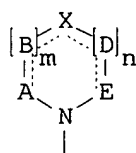
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965909	A1	19991223	WO 1999-IB1110	19990614
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335186	A1	19991223	CA 1999-2335186	19990614
CA 2335186	C	20050329		
AU 9940545	A	20000105	AU 1999-40545	19990614
AU 758427	B2	20030320		
TR 200003720	T2	20010321	TR 2000-200003720	19990614
EP 1087971	A1	20010404	EP 1999-923800	19990614
EP 1087971	B1	20040707		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9912171	A	20010410	BR 1999-12171	19990614
HU 200103472	A2	20020228	HU 2001-3472	19990614
JP 2002518394	T	20020625	JP 2000-554734	19990614
JP 3497823	B2	20040216		
TW 542834	B	20030721	TW 1999-88109933	19990614
CN 1125070	B	20031022	CN 1999-807519	19990614
NZ 508034	A	20031128	NZ 1999-508034	19990614
AT 270673	T	20040715	AT 1999-923800	19990614
PT 1087971	T	20041029	PT 1999-923800	19990614
ES 2223172	T3	20050216	ES 1999-923800	19990614
ZA 9904003	A	20001218	ZA 1999-4003	19990617
AP 1157	A	20030630	AP 1999-1583	19990617
W: BW, GH, GM, KE, MW, SD, UG, ZM, ZW				
US 6635762	B1	20031021	US 1999-335030	19990617
NO 2000006454	A	20010215	NO 2000-6454	20001218
NO 318786	B1	20050509		
HR 2000000886	A1	20011031	HR 2000-886	20001219
BG 105122	A	20011031	BG 2001-105122	20010108
HK 1036800	A1	20040227	HK 2001-107740	20011106
US 2004058922	A1	20040325	US 2003-640079	20030813
NO 2005000201	A	20010215	NO 2005-201	20050113
PRIORITY APPLN. INFO.:			US 1998-89886P	P 19980619
			WO 1999-IB1110	W 19990614
			US 1999-335030	A1 19990617
OTHER SOURCE(S):			MARPAT 132:49976	
GI				

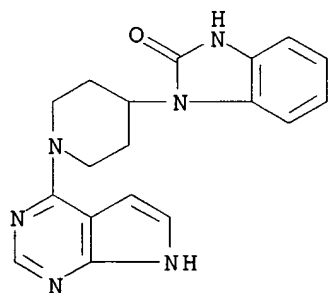


I



II

- AB The title compds. [I; R1 = II (wherein the dashed line represents optional double bonds; m = 0-3; n = 0-3; X, B, D = O, S(O)d (d = 0-2), NR6, CR7R8; A, E = CR7R8; R6 = H, alkyl, CF3, etc.; R7, R8 = H, 2H, alkyl, etc.); R2, R3 = H, NH2, halo, etc.] which are inhibitors of protein tyrosine kinases such as Janus Kinase 3 (no data) and as such useful as immunosuppressive agents for organ transplants, lupus, multiple sclerosis, rheumatoid arthritis, psoriasis, Type I diabetes and complications from diabetes, cancer, asthma, atopic dermatitis, autoimmune thyroid disorders, ulcerative colitis, Crohn's disease, Alzheimer's disease, leukemia and other autoimmune diseases, were prepared E.g., a 2-step synthesis of I [R1 = piperidino; R2 = Cl; R3 = H], starting with 4-chloro-7H-pyrrolo[2,3-d]pyrimidine, was given. Compds. I are effective at 0.1-1000 mg/day.
- IT 252722-35-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrrolo[2,3-d]pyrimidines as inhibitors of protein tyrosine kinases such as Janus Kinase 3)
- RN 252722-35-3 HCAPLUS
- CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[1-(1H-pyrrolo[2,3-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 11:55:57 ON 21 DEC 2006)

FILE 'REGISTRY' ENTERED AT 11:56:11 ON 21 DEC 2006

L1 STRUCTURE UPLOADED

L2 0 S L1 SAMPLE

L3 14 S L1 FUL

FILE 'HCAPLUS' ENTERED AT 11:57:24 ON 21 DEC 2006

L4 15 S L3

10/ 808,496

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

79.18

246.77

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-11.25

-11.25

STN INTERNATIONAL LOGOFF AT 11:58:05 ON 21 DEC 2006